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\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Dec 17	The CA Lexicon available in the CAPLUS and CA files
NEWS 3	Feb 06	Engineering Information Encompass files have new names
NEWS 4	Feb 16	TOXLINE no longer being updated
NEWS 5	Apr 23	Search Derwent WPINDEX by chemical structure
NEWS 6	Apr 23	PRE-1967 REFERENCES NOW SEARCHABLE IN CAPLUS AND CA
NEWS 7	May 07	DGENE Reload
NEWS 8	Jun 20	Published patent applications (A1) are now in USPATFULL
NEWS 9	JUL 13	New SDI alert frequency now available in Derwent's DWPI and DPCI
NEWS 10	Aug 23	In-process records and more frequent updates now in MEDLINE
NEWS 11	Aug 23	PAGE IMAGES FOR 1947-1966 RECORDS IN CAPLUS AND CA
NEWS 12	Aug 23	Adis Newsletters (ADISNEWS) now available on STN
NEWS 13	Sep 17	IMSworld Pharmaceutical Company Directory name change to PHARMASEARCH
NEWS 14	Oct 09	Korean abstracts now included in Derwent World Patents Index
NEWS 15	Oct 09	Number of Derwent World Patents Index updates increased
NEWS 16	Oct 15	Calculated properties now in the REGISTRY/ZREGISTRY File
NEWS 17	Oct 22	Over 1 million reactions added to CASREACT
NEWS 18	Oct 22	DGENE GETSIM has been improved
NEWS 19	Oct 29	AAASD no longer available
NEWS 20	Nov 19	New Search Capabilities USPATFULL and USPAT2
NEWS 21	Nov 19	TOXCENTER(SM) - new toxicology file now available on STN
NEWS 22	Nov 29	COPPERLIT now available on STN
NEWS 23	Nov 29	DWPI revisions to NTIS and US Provisional Numbers
NEWS 24	Nov 30	Files VETU and VETB to have open access
NEWS 25	Dec 10	WPINDEX/WPIDS/WPIX New and Revised Manual Codes for 2002
NEWS 26	Dec 10	DGENE BLAST Homology Search
NEWS 27	Dec 17	WELDASEARCH now available on STN
NEWS 28	Dec 17	STANDARDS now available on STN
NEWS 29	Dec 17	New fields for DPCI
NEWS 30	Dec 19	CAS Roles modified
NEWS 31	Dec 19	1907-1946 data and page images added to CA and CAPLUS
NEWS EXPRESS	August 15	CURRENT WINDOWS VERSION IS V6.0c, CURRENT MACINTOSH VERSION IS V6.0 (ENG) AND V6.0J (JP), AND CURRENT DISCOVER FILE IS DATED 07 AUGUST 2001
NEWS HOURS		STN Operating Hours Plus Help Desk Availability
NEWS INTER		General Internet Information
NEWS LOGIN		Welcome Banner and News Items
NEWS PHONE		Direct Dial and Telecommunication Network Access to STN
NEWS WWW		CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 14:17:00 ON 15 JAN 2002

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.15	0.15

FILE 'REGISTRY' ENTERED AT 14:17:09 ON 15 JAN 2002  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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STRUCTURE FILE UPDATES: 14 JAN 2002 HIGHEST RN 383122-99-4  
DICTIONARY FILE UPDATES: 14 JAN 2002 HIGHEST RN 383122-99-4

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES  
for more information. See STNote 27, Searching Properties in the CAS  
Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

Uploading 779116b.str

L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

L1 STR

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Structure attributes must be viewed using STN Express query preparation.

=> s ll sss sam

SAMPLE SEARCH INITIATED 14:17:49 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 12904 TO ITERATE

7.7% PROCESSED 1000 ITERATIONS 2 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.03

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 251289 TO 264871  
PROJECTED ANSWERS: 212 TO 820

L2 2 SEA SSS SAM L1

=> s ll full

FULL SEARCH INITIATED 14:17:57 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 260128 TO ITERATE

100.0% PROCESSED 260128 ITERATIONS 70 ANSWERS  
SEARCH TIME: 00.00.09

L3 70 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	140.54	140.69

FILE 'CAPLUS' ENTERED AT 14:18:11 ON 15 JAN 2002  
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Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications.

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

CAPLUS now provides online access to patents and literature covered in CA from 1907 to the present. Bibliographic information and abstracts were added in 2001 for over 3.8 million records from 1907-1966.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

The CA Lexicon is now available in the Controlled Term (/CT) field. Enter HELP LEXICON for full details.

Attention, the CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

=> s 13 full

L4                    8 L3

=> d 14 1-8 ibib abs hitstr

L4    ANSWER 1 OF 8    CAPLUS    COPYRIGHT 2002 ACS

ACCESSION NUMBER:        2001:631913    CAPLUS

DOCUMENT NUMBER:        135:195556

TITLE:                    Preparation of azolylphenyl oxamides as inosine monophosphate dehydrogenase (IMPDH) inhibitors

INVENTOR(S):             Broadhurst, Michael John; Hill, Christopher Huw; Hurst, David Nigel; Jones, Philip Stephen; Kay, Paul Brittain; Kilford, Ian Reginald; Mckinnell, Robert Murray

PATENT ASSIGNEE(S):      F. Hoffmann-La Roche A.-G., Switz.

SOURCE:                   Eur. Pat. Appl., 256 pp.

CODEN: EPXXDW

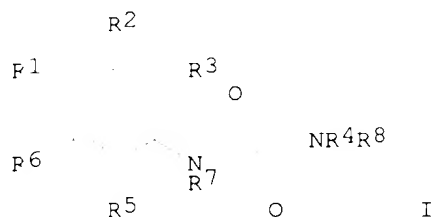
DOCUMENT TYPE:           Patent

LANGUAGE:                English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1127883	A2	20010829	EP 2001-103521	20010216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2001000900	A	20010827	NO 2001-900	20010222
CN 1310179	A	20010829	CN 2001-104906	20010223
JP 2001261663	A2	20010926	JP 2001-51064	20010226
PRIORITY APPLN. INFO.:			GB 2000-4392	A 20000224
			GB 2000-15877	A 20000628
			GB 2000-20322	A 20000817



AB Title compds. (I; R1 = heterocyclyl; R2 = H, alkyl, alkoxy, halo, OH, cyano; R3 = H, alkyl, alkoxy, halo, cyano; R4 = H, alkyl, cycloalkyl, aryl, heterocyclyl; R5 = H, alkyl, alkoxy, halo, cyano; R6 = H, alkyl, alkoxy, halo, cyano; R7, R8 = H, alkyl; R4R8N = heterocyclyl), were prepd.

Thus, 1,1-dimethyl-3-(4-nitrophenoxy)propylamine (prepn. given) was coupled with N-[3-methoxy-4-(5-oxazolyl)phenyl]oxamic acid in the presence of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide and 1-hydroxy-7-azabenzotriazole to give N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-nitrophenoxy)propyl]oxalamide. Tested I inhibited IMPDH with IC50 = 0.010-0.277 .mu.M. I can be used for treating immune

mediated conditions or diseases, viral diseases, bacterial diseases, parasitic diseases, inflammation, inflammatory diseases, hyperproliferative vascular diseases, tumors, and cancer.

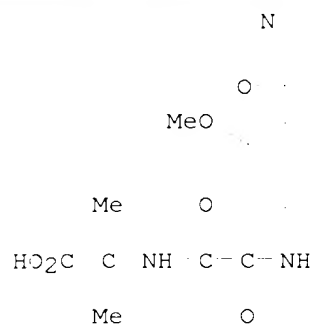
IT 267405-69-6P 357179-57-8P 357179-58-9P  
357179-59-0P 357179-69-2P 357179-71-6P  
357179-74-9P 357179-88-5P 357180-70-2P  
357180-82-6P 357180-83-7P 357180-84-8P  
357180-85-9P 357180-86-0P 357180-95-1P  
357180-96-2P 357180-97-3P 357180-98-4P  
357180-99-5P 357181-00-1P 357181-01-2P  
357181-02-3P 357181-03-4P 357181-36-3P  
357181-37-4P 357181-38-5P 357181-40-9P  
357181-41-0P 357181-42-1P 357181-43-2P  
357181-44-3P 357181-45-4P 357181-46-5P  
357181-47-6P 357181-48-7P 357181-49-8P  
357181-50-1P 357181-51-2P 357181-52-3P  
357181-53-4P 357181-54-5P 357181-55-6P  
357181-72-7P 357181-73-8P 357181-76-1P  
357181-79-4P 357181-80-7P 357181-81-8P  
357181-84-1P 357182-74-2P 357182-78-6P  
357183-19-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of azolylphenyl oxamides as inosine monophosphate dehydrogenase (IMPDH) inhibitors)

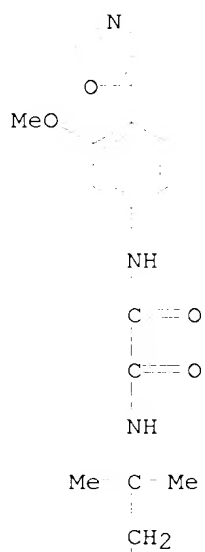
RN 267405-69-6 CAPLUS

CN Alanine, N-[3-methoxy-4-(5-oxazolyl)phenyl]-2-oxoglycyl-2-methyl- (9CI)  
(CA INDEX NAME)



RN 357179-57-8 CAPLUS  
 CN Acetic acid,  
 [4-[2-[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]oxoacetyl]amin  
 o]-2-methylpropyl]phenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

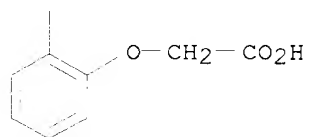
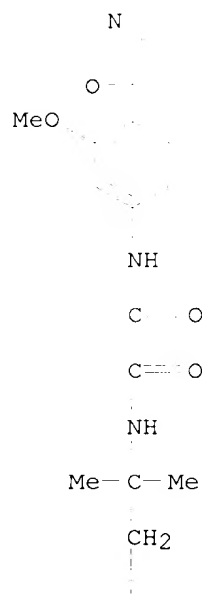


PAGE 2-A



O CH<sub>2</sub> CO<sub>2</sub>H

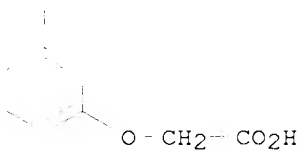
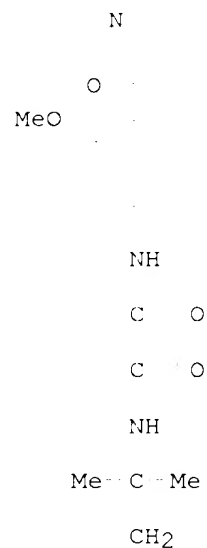
RN 357179-58-9 CAPLUS  
 CN Acetic acid,  
 [2-[2-[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]oxoacetyl]amin  
 o]-2-methylpropyl]phenoxy]- (9CI) (CA INDEX NAME)



RN 357179-59-0 CAPLUS

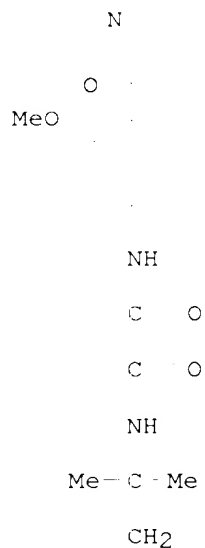
CN Acetic acid,

[3-[2-[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]oxoacetyl]amin  
o]-2-methylpropyl]phenoxy]- (9CI) (CA INDEX NAME)

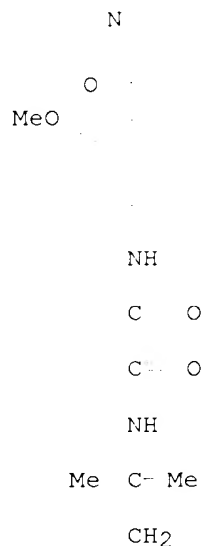


RN 357179-69-2 CAPLUS  
 CN Benzoic acid,  
 4-[2-[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]oxoacetyl]amin  
 o]-2-methylpropyl]- (9CI) (CA INDEX NAME)

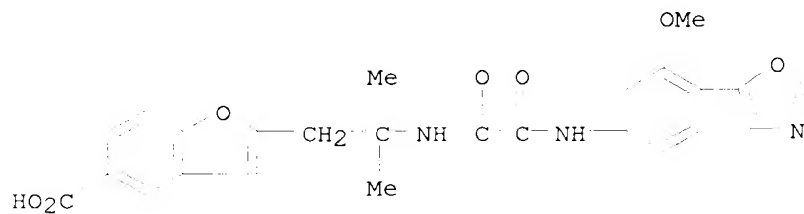




RN 357179-71-6 CAPLUS  
 CN Benzoic acid,  
 3-[2-[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]oxoacetyl]amin  
 o]-2-methylpropyl]- (9CI) (CA INDEX NAME)



RN 357179-74-9 CAPLUS  
 CN 5-Benzofurancarboxylic acid, 2-[2-[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]oxoacetyl]amino]-2-methylpropyl]- (9CI) (CA INDEX NAME)



RN 357179-88-5 CAPLUS  
 CN Benzo[b]thiophene-5-carboxylic acid, 2-[2-[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]oxoacetyl]amino]-2-methylpropyl]- (9CI) (CA INDEX NAME)

OMe

Me      O   O                      O

S                      CH<sub>2</sub>   C - NH   C   C   NH                      N

Me

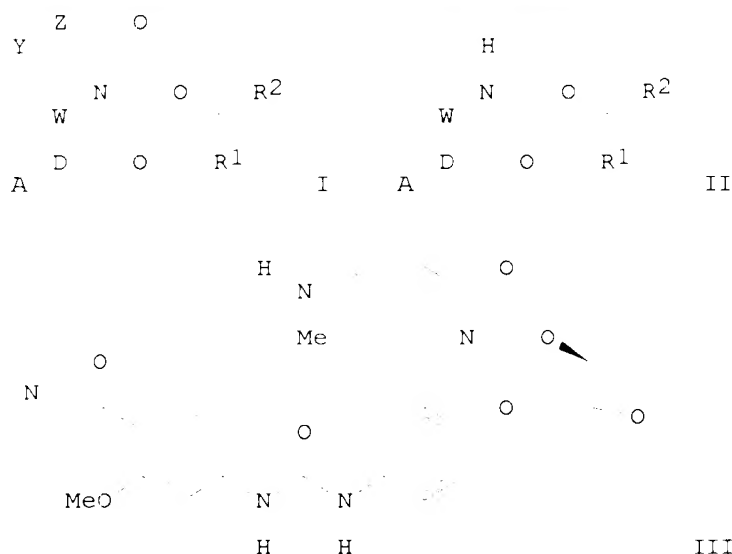
HO<sub>2</sub>C

RN 357180-70-2 CAPLUS  
 CN Benzoic acid,  
 4-[[[4-[2-[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]oxoacetyl  
   ]amino]-2-methylpropyl]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

u  
 CN Benzoic acid,  
 4-[3-[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]oxoacetyl]amin  
   o]-3-methylbutoxy]- (9CI) (CA INDEX NAME)  
 => d 14 2-3 ibib abs hitstr

L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 2001:12455 CAPLUS  
 DOCUMENT NUMBER: 134:86041  
 TITLE: Preparation of carbamate prodrugs for inhibition of  
           inosine monophosphate dehydrogenase (IMPDH)  
 INVENTOR(S): Stamos, Dean P.; Bethiel, Randy S.  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
 SOURCE: PCT Int. Appl., 63 pp.  
           CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2001000622	A1	20010104	WO 2000-US17400	20000623
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 1999-141102	P 19990625
OTHER SOURCE(S):			MARPAT 134:86041	
GI				



AB Carbamate prodrugs I [W = (un)substituted monocyclic or bicyclic, (un)satd. or arom. ring system consisting of 5-6 members per ring (optionally heterocyclic); D = NR3CONR3, CONR3, NR3CO, NR3COCR4:CR4 where each R3 = H, (un)substituted alkyl, alkenyl or alkynyl; R4 = R3, (un)substituted alkyl, alkenyl or alkenyl attached via O, OCO, S, SO,

SO2, SCO, NR3, or NR3CO; A = W, alkyl, alkenyl, or alkynyl where A optionally comprises up to 2 substituents wherein: the first of said substituents is R5 or W, and the second substituent, if present, is R5; R5 = (un)substituted 1,2-methylenedioxy, 1,2-ethylenedioxy, alkyl, alkenyl or alkynyl or (CH2)nW1; W1 = halo, CN, NO2, CF3, OH, alkoxy, etc.; n = 0-2;

Y = NHR6; R6 = H, (un)substituted alkyl, alkenyl, alkynyl, aryl or alkylaryl and any NR6, taken together with the nitrogen and a carbon adjacent to the nitrogen, optionally forms a 5-7 membered ring, wherein said ring optionally contains up to 3 addnl. heteroatoms; Z = (un)substituted alkyl, alkenyl, alkynyl, aryl-alkyl, -alkenyl or -alkynyl, wherein up to 3 carbon

atoms may be replaced with O, S, SO, SO2, or NR6, wherein up to 3 CH2 groups may be replaced with CO; R1 = (un)substituted alkyl; R2 = H, CF3, alkyl, alkyl-W, W, or R1 and R2 together form a ring as defined in W], that on metab. convert to active inhibitors (formula II) of the IMPDH enzyme in vivo, were prepd. Thus, III.cntdot.HCl was prepd. from methylaminobutyric acid in seven steps. I and pharmaceutical compns. thereof are particularly well suited for activation and subsequent inhibition of the IMPDH enzyme activity. The rate of absorption of I via oral uptake of the prodrugs was dependent on the nature of substituents Z and Y, as well as the pH, with AUC values ranging from <1 to 5 mg.cntdot.hr/mL. Consequently, I may be advantageously used as therapeutic agents for IMPDH-mediated processes, e.g., cell proliferation (antitumor agents), and viral replication (antiviral agents).

IT **317345-76-9P**

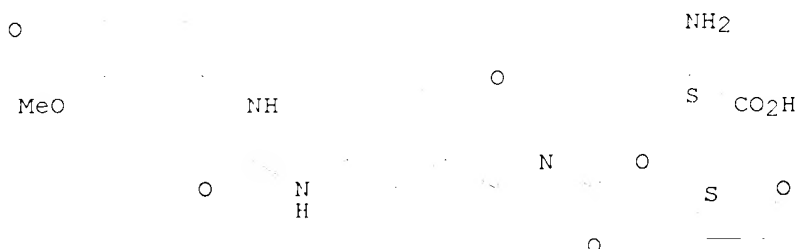
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (prepn. and biol. activity of N,N'-diphenylurea prodrugs of carbamate inhibitors of IMPDH)

RN 317345-76-9 CAPLUS

CN L-Glutamine,  
N-[[3-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino  
]phenyl]methyl]-N-[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]-,  
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

N



● HCl

REFERENCE COUNT: 5  
REFERENCE(S): (1) Beylin, V; JOURNAL OF HETEROCYCLIC CHEMISTRY  
1988, V25(1), P97 CAPLUS  
(2) Kahns, A; 1991, 6, P483 CAPLUS  
(3) Kahns, A; INT J PHARM 1991, V71(1-2), P31 CAPLUS  
(4) Sharma, S; JOURNAL OF MEDICINAL CHEMISTRY 1989, V32(2), P357 CAPLUS  
(5) Vertex Pharmaceuticals Incorporated; WO 9740028 A 1997 CAPLUS

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 2000:688087 CAPLUS  
DOCUMENT NUMBER: 133:261545  
TITLE: Inosine-5'-monophosphate dehydrogenase (IMPDH)  
inhibitors, their preparation, and their therapeutic  
use  
INVENTOR(S): Stamos, Dean; Trudeau, Martin; Bethiel, Scott; Badia,  
Michael; Saunders, Jeffrey  
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
SOURCE: PCT Int. Appl., 89 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000056331	A1	20000928	WO 2000-US7129	20000317
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 1999-125507	P 19990319

OTHER SOURCE(S): MARPAT 133:261545

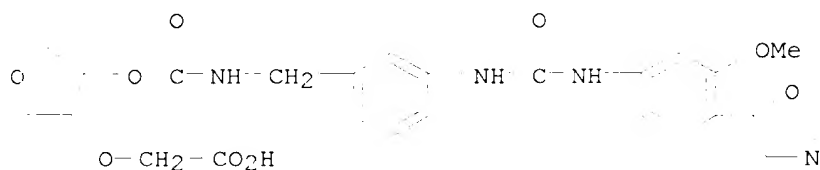
AB Comps. and pharmaceutical comps. are provided which inhibit IMPDH. The comps. and pharmaceutical comps. of the invention are particularly well suited for inhibiting IMPDH activity and consequently, may be used as therapeutic agents for IMPDH-mediated processes. The invention also relates to methods for inhibiting the activity of IMPDH using the comps. of the invention and related comps.

IT 297728-82-6 297729-82-9 297729-83-0

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (inosine monophosphate dehydrogenase inhibitors, prepn., and therapeutic use)

RN 297728-82-6 CAPLUS

CN Acetic acid, [[tetrahydro-4-[[[[[3-[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]phenyl]methyl]amino]carbonyl]oxy]-3-furanyl]oxy]- (9CI) (CA INDEX NAME)

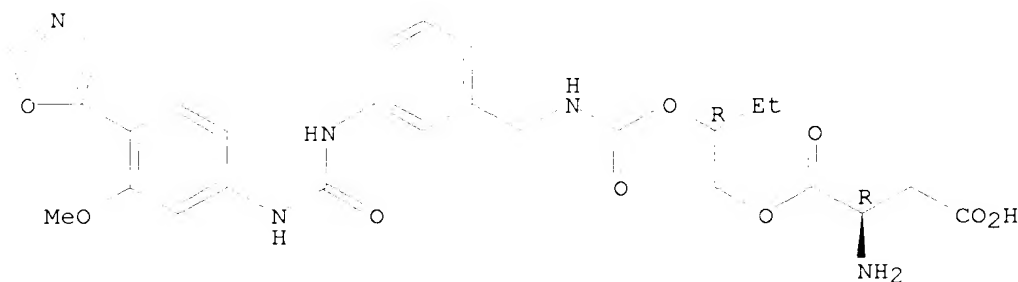


RN 297729-82-9 CAPLUS

CN D-Aspartic acid, 1-[(2R)-2-[[[[[3-[[[3-methoxy-4-(5-

oxazolyl)phenyl]amino]carbonyl]amino]phenyl]methyl]amino]carbonyl]oxy]butyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

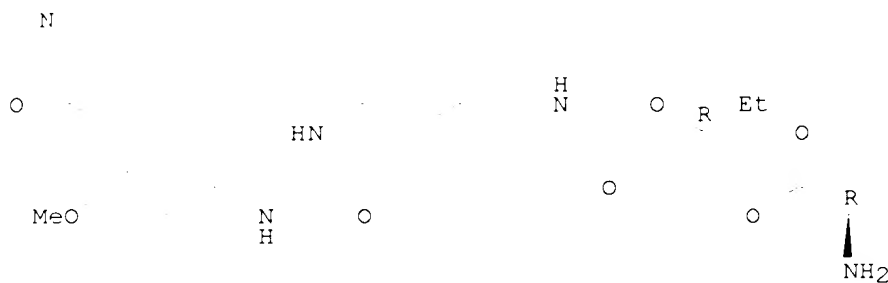


RN 297729-83-0 CAPLUS

CN D-Glutamic acid, 1-[(2R)-2-[[[[[3-[[[3-methoxy-4-(5-

oxazolyl)phenyl]amino]carbonyl]amino]phenyl]methyl]amino]carbonyl]oxy]butyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

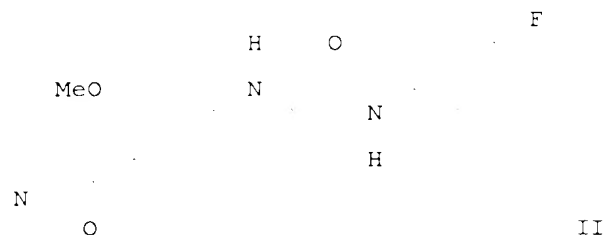


—CO<sub>2</sub>H

REFERENCE COUNT: 1  
 REFERENCE(S): (1) Vertex Pharmaceuticals Incorporated; WO 9740028  
 A1  
 1997 CAPLUS

L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 2000:314692 CAPLUS  
 DOCUMENT NUMBER: 132:334449  
 TITLE: Preparation of N-[4-(5-oxazolyl)phenyl] amides as novel inhibitors of IMPDH enzyme  
 INVENTOR(S): Gu, Henry H.; Dhar, T. G. Murali; Iwanowicz, Edwin  
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA  
 SOURCE: PCT Int. Appl., 99 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000026197	A1	20000511	WO 1999-US24889	19991022
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1127054	A1	20010829	EP 1999-960145	19991022
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRIORITY APPLN. INFO.:			US 1998-106180	P 19981029
			WO 1999-US24889	W 19991022
OTHER SOURCE(S): MARPAT 132:334449				
GI				



AB The title compds. ZJKLX [I; Z = (un)substituted monocyclic or bicyclic ring system contg. up to 4 heteroatoms selected from N, O, and S; J =

NR7, CO; K = NR7, CO, CHR9; L = a single bond, CO, CR10R11, etc.; X = alkyl, alkenyl, cycloalkylalkyl, etc.; R7 = H, alkyl, alkenyl, etc.; R9 = H, alkyl, alkenyl, etc.; R10, R11 = H, F, Cl, etc.], useful in treating or preventing IMPDH assocd. disorders, such as transplant rejection and autoimmune disease, were prepd. E.g., a multi-step synthesis of gycinamide II was given. Compds. I are effective at 0.1-500 mg/kg/day.

IT **267405-69-6P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

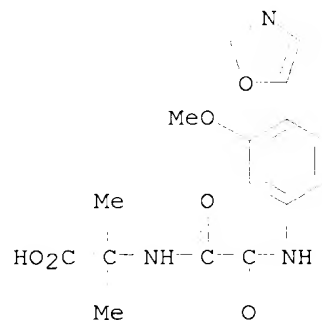
(prepn. of N-[4-(5-oxazolyl)phenyl] amides as novel inhibitors of

IMPDH

enzyme)

RN 267405-69-6 CAPLUS

CN Alanine, N-[3-methoxy-4-(5-oxazolyl)phenyl]-2-oxoglycyl-2-methyl- (9CI)  
(CA INDEX NAME)



IT **267406-37-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of N-[4-(5-oxazolyl)phenyl] amides as novel inhibitors of

IMPDH

enzyme)

RN 267406-37-1 CAPLUS

CN Propanoic acid, 3-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-3-oxo- (9CI)  
(CA INDEX NAME)



N

O

MeG

HO<sub>2</sub>C CH<sub>2</sub> C-NH

O

REFERENCE COUNT: 3  
REFERENCE(S): (1) Diana; US 4861791 A 1989 CAPLUS  
(2) Djuric; US 5073562 A 1991 CAPLUS  
(3) Goldstein; US 5334604 A 1994 CAPLUS

L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 2000:314540 CAPLUS  
DOCUMENT NUMBER: 132:334477  
TITLE: Preparation of compounds derived from an amine  
nucleus

as inhibitors of IMPDH enzyme  
INVENTOR(S): Liu, Chunjian; Dhar, T. G. Murali; Gu, Henry H.;  
Iwanowicz, Edwin J.; Leftheris, Katerina; Pitts,  
William John

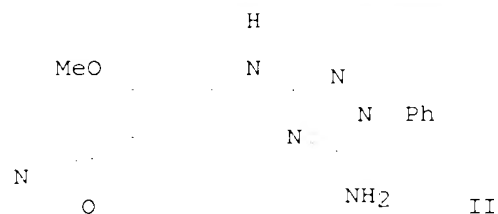
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA  
SOURCE: PCT Int. Appl., 191 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent  
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000025780	A1	20000511	WO 1999-US24825	19991022
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1126843	A1	20010829	EP 1999-955142	19991022
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
PRIORITY APPLN. INFO.:			US 1998-106186 P 19981029	
			WO 1999-US24825 W 19991022	
OTHER SOURCE(S):	MARPAT 132:334477			
GI				



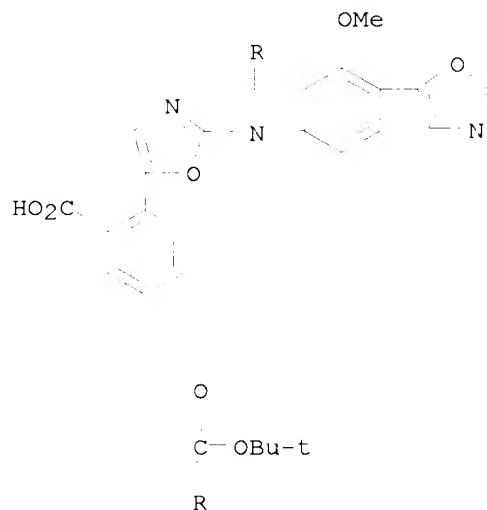
AB The title compds. XN(R)BD [I; X = (un)substituted monocyclic or bicyclic ring system optionally contg. up to 4 heteroatoms selected from N, O, and S; R = H, alkyl; B = (un)substituted monocyclic or bicyclic ring system optionally contg. up to 4 heteroatoms selected from N, O, and S; D = (un)substituted monocyclic or bicyclic ring system optionally contg. up to 4 heteroatoms selected from N, O, and S], useful in treating or preventing IMPDH (inosine-5'-monophosphate dehydrogenase) mediated diseases, such as transplant rejection and autoimmune diseases, were prepd. E.g., a multi-step synthesis of triazole II was given. Compds. I are effective at 0.1-500 mg/kg/day.

IT **267648-02-2P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of compds. derived from an amine nucleus as inhibitors of

IMPDH  
 enzyme)

RN 267648-02-2 CAPLUS

CN Benzoic acid, 2-[2-[[[(1,1-dimethylethoxy)carbonyl][3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1  
 REFERENCE(S): (1) Knox; US 5247083 A 1993 CAPLUS

L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:268526 CAPLUS

DOCUMENT NUMBER: 132:288797

TITLE: Inosine 5'-monophosphate dehydrogenase (IMPDH)  
 inhibitor preparation for therapeutic use

INVENTOR(S): Armistead, David M.; Badia, Michael C.; Bemis, Guy  
 W.;

Bethiel, Randy S.; Frank, Catharine A.; Novak, Perry

M.; Ronkin, Steven M.; Saunders, Jeffrey O.  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Incorporated, USA  
 SOURCE: U.S., 22 pp., Cont.-in-part of U.S. 5,807,876.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6054472	A	20000425	US 1997-832165	19970402
US 5807876	A	19980915	US 1996-636361	19960423
WO 9740028	A1	19971030	WO 1997-US6623	19970421
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG AU 9726785 A1 19971112 AU 1997-26785 19970421 AU 723730 B2 20000907 EP 902782 A1 19990324 EP 1997-918759 19970421 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO CN 1219929 A 19990616 CN 1997-194856 19970421 BR 9708735 A 19990803 BR 1997-8735 19970421 JP 2001509132 T2 20010710 JP 1997-538234 19970421 NO 9804917 A 19981223 NO 1998-4917 19981022 KR 2000010580 A 20000215 KR 1998-708454 19981022 PRIORITY APPLN. INFO.: US 1996-636361 A2 19960423 US 1997-801780 A2 19970214 US 1997-832165 A 19970402 WO 1997-US6623 W 19970421				

OTHER SOURCE(S): MARPAT 132:288797

AB The invention relates to a novel class of compds. which are IMPDH inhibitors. This invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. of the invention are particularly well suited for inhibiting IMPDH activity and consequently may be used as therapeutic agents for IMPDH-mediated processes. The invention also relates to methods for inhibiting the activity of IMPDH using the compds. of the invention and related compds.  
 IT **198820-33-6 198820-44-9 198820-62-1**  
 RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (inosine monophosphate dehydrogenase inhibitor prepn. for therapeutic use)  
 RN 198820-33-6 CAPLUS  
 CN Benzenepropanoic acid, 3-[[[4-(5-oxazolyl)phenyl]amino]carbonyl]amino]-(9CI) (CA INDEX NAME)

N

O

NH

C=O

NH

CH<sub>2</sub>-CH<sub>2</sub>-CO<sub>2</sub>H

RN 198820-44-9 CAPLUS

CN Benzeneacetic acid, 3-[[[4-(5-oxazolyl)phenyl]amino]carbonyl]amino]-  
(9CI) (CA INDEX NAME)

N

O

NH

C=O

NH

CH<sub>2</sub>-CO<sub>2</sub>H

RN 198820-62-1 CAPLUS

CN Benzeneacetic acid,  
3-[[[3-chloro-4-(5-oxazolyl)phenyl]amino]carbonyl]ami  
no]- (9CI) (CA INDEX NAME)

N

Cl

NH

C O

NH

CH<sub>2</sub>-CO<sub>2</sub>H

REFERENCE COUNT: 13  
 REFERENCE(S): (1) Anon; US 4048333 1977 CAPLUS  
 (2) Anon; WO 9401105 1994 CAPLUS  
 (3) Anon; WO 9412184 1994 CAPLUS  
 (4) Anon; US 5380879 1995 CAPLUS  
 (5) Anon; US 5444072 1995 CAPLUS  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:717901 CAPLUS

DOCUMENT NUMBER: 128:3680

TITLE: Preparation of arylreas and related compounds as inhibitors of inosine 5'-monophosphate dehydrogenase.

INVENTOR(S): Armistead, David M.; Badia, Michael C.; Bemis, Guy W.;

Bethiel, Randy S.; Frank, Catharine A.; Novak, Perry M.; Ronkin, Steven M.; Saunders, Jeffrey O.

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 93 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9740028	A1	19971030	WO 1997-US6623	19970421
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SE, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
US 5807876	A	19980915	US 1996-636361	19960423
US 6054472	A	20000425	US 1997-832165	19970402
AU 9726785	A1	19971112	AU 1997-26785	19970421
AU 723730	B2	20000907		
EP 902782	A1	19990324	EP 1997-918759	19970421
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
BR 9708735	A	19990803	BR 1997-8735	19970421

JP 2001509132	T2	20010710	JP 1997-538234	19970421
NO 9804917	A	19981223	NO 1998-4917	19981022
PRIORITY APPLN. INFO.:			US 1996-636361	A 19960423
			US 1997-801780	A 19970214
			US 1997-832165	A 19970402
			WO 1997-US6623	W 19970421

OTHER SOURCE(S): MARPAT 128:3680

AB ANHDNHB [A = (substituted) alkyl, alkenyl, alkynyl; B = (unsatd.)  
(substituted) mono- or bicyclic ring contg. .ltoreq.4 heteroatoms; D =  
CO,

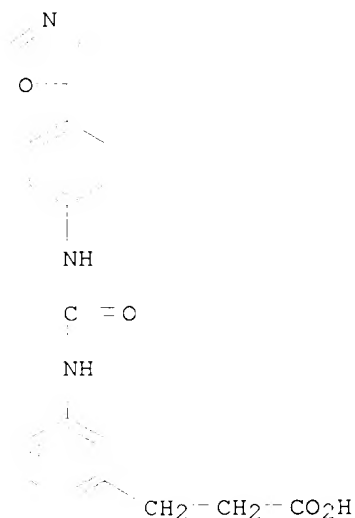
CS, SO<sub>2</sub>], were prepd. Thus, 4-(5-oxazolyl)aniline and PhCH<sub>2</sub>NCO were  
stirred overnight in CH<sub>2</sub>Cl<sub>2</sub> to give N-benzyl-N'-[4-(5-  
oxazolyl)phenyl]urea. Several title compds. inhibited IMPDH with Ki =  
0.01-50 nM.

IT **198820-33-6 198820-44-9 198820-62-1**

RL: BAC (Biological activity or effector, except adverse); THU  
(Therapeutic use); BIOL (Biological study); USES (Uses)  
(prepn. of arylreas and related compds. as inhibitors of IMP  
dehydrogenase)

RN 198820-33-6 CAPLUS

CN Benzenepropanoic acid, 3-[[[4-(5-oxazolyl)phenyl]amino]carbonyl]amino]-  
(9CI) (CA INDEX NAME)



RN 198820-44-9 CAPLUS

CN Benzeneacetic acid, 3-[[[4-(5-oxazolyl)phenyl]amino]carbonyl]amino]-  
(9CI) (CA INDEX NAME)

N

C

NH

C=O

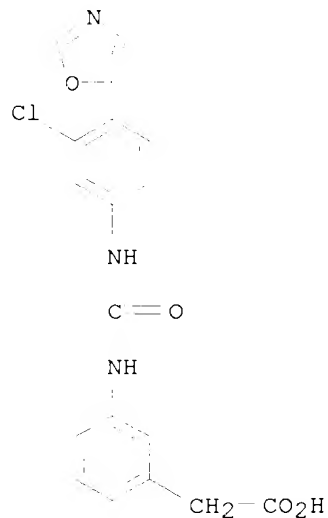
NH

CH<sub>2</sub>-CO<sub>2</sub>H

RN 198820-62-1 CAPLUS

CN Benzeneacetic acid,

3-[[[3-chloro-4-(5-oxazolyl)phenyl]amino]carbonyl]ami  
no]- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1988:507387 CAPLUS

DOCUMENT NUMBER: 109:107387

TITLE: Homogeneous fluoroassay methods employing fluorescent  
background rejection and water-soluble rare earth  
metal chelate fluorophores

INVENTOR(S): Wieder, Irwin; Hale, Ron L.

PATENT ASSIGNEE(S): Baxter Travenol Laboratories, Inc., USA

SOURCE: PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

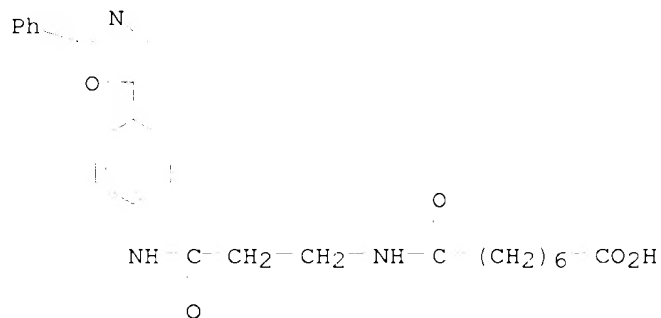
PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO. DATE

WO 3707955	A1	19871230	WO 1987-US1407	19870615
W: DK, FI, JP, NO				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
EP 272320	A1	19880629	EP 1987-905011	19870615
EP 272320	B1	19940323		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
JP 01500458	T2	19890216	JP 1987-504676	19870615
AT 103393	E	19940415	AT 1987-905011	19870615
CA 1309016	A1	19921020	CA 1987-539778	19870616
DK 8800798	A	19880216	DK 1988-798	19880216
DK 172464	B1	19980831		
FI 8800719	A	19880216	FI 1988-719	19880216
FI 93997	B	19950315		
FI 93997	C	19950626		
NO 8800684	A	19880412	NO 1988-684	19880216
US 5830769	A	19981103	US 1996-732871	19961015
US 6242268	B1	20010605	US 1998-184330	19981102
PRIORITY APPLN. INFO.:			US 1986-875287	A 19860617
			US 1985-712774	A2 19850318
			US 1985-712779	A2 19850318
			EP 1987-905011	A 19870615
			WO 1987-US1407	W 19870615
			US 1993-35516	B1 19930322
			US 1994-338285	B1 19941110
			US 1996-732871	A1 19961015
AB	Homogeneous assays for detg. the extent of a specific binding reaction can			
	be carried out on very dil. solns. using fluorescence measurements if a fluorescence measurement scheme is employed that is capable of rejecting short-lived background fluorescence. The fluorescent group must be a water-sol. rare earth metal chelate which is stable in extremely dil. aq. solns., i.e. it must have .gtoreq.1 ligand with a binding const. of .gtoreq.1013 M-1. It must also have a fluorescent emission of long duration compared to the longest decay lifetime of ambient substances and must have a half-life of 0.01-50 ms. An energy transfer fluorescence enhancement assay for theophylline was carried out by allowing theophylline in a sample to compete for anti-theophylline antibody with a tracer, 2,6-bis[N,N-di(carboxymethyl)aminomethyl]-4-[4-(theophylline-8-butylamido)phenyl]pyridine Tb chelate (prepn. given). Theophylline could be detd. over the concn. range 5.4-540 ng/10 .mu.L, with an increase in the obsd. fluorescence of .ltoreq.400%.			
IT	<b>116241-45-3D</b> , antibody conjugates			
	RL: ANST (Analytical study)			
	(as fluorescence enhancer for fluorescence immunoassay)			
RN	116241-45-3 CAPLUS			
CN	Octanoic acid, 8-oxo-8-[[3-oxo-3-[[4-(2-phenyl-5-oxazolyl)phenyl]amino]propyl]amino]- (9CI) (CA INDEX NAME)			





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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
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FULL ESTIMATED COST	36.07	176.76
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-4.96	-4.96

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PASSWORD:

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Dec 17	The CA Lexicon available in the CAPLUS and CA files
NEWS 3	Feb 06	Engineering Information Encompass files have new names
NEWS 4	Feb 16	TOXLINE no longer being updated
NEWS 5	Apr 23	Search Derwent WPINDEX by chemical structure
NEWS 6	Apr 23	PRE-1967 REFERENCES NOW SEARCHABLE IN CAPLUS AND CA
NEWS 7	May 07	DGENE Reload
NEWS 8	Jun 20	Published patent applications (A1) are now in USPATFULL
NEWS 9	JUL 13	New SDI alert frequency now available in Derwent's DWPI and DPCI
NEWS 10	Aug 23	In-process records and more frequent updates now in MEDLINE
NEWS 11	Aug 23	PAGE IMAGES FOR 1947-1966 RECORDS IN CAPLUS AND CA
NEWS 12	Aug 23	Adis Newsletters (ADISNEWS) now available on STN
NEWS 13	Sep 17	IMSworld Pharmaceutical Company Directory name change to PHARMASEARCH
NEWS 14	Oct 09	Korean abstracts now included in Derwent World Patents Index
NEWS 15	Oct 09	Number of Derwent World Patents Index updates increased
NEWS 16	Oct 15	Calculated properties now in the REGISTRY/ZREGISTRY File
NEWS 17	Oct 22	Over 1 million reactions added to CASREACT
NEWS 18	Oct 22	DGENE GETSIM has been improved
NEWS 19	Oct 29	AAASD no longer available
NEWS 20	Nov 19	New Search Capabilities USPATFULL and USPAT2
NEWS 21	Nov 19	TOXCENTER(SM) - new toxicology file now available on STN
NEWS 22	Nov 29	COPPERLIT now available on STN
NEWS 23	Nov 29	DWPI revisions to NTIS and US Provisional Numbers
NEWS 24	Nov 30	Files VETU and VETB to have open access
NEWS 25	Dec 10	WPINDEX/WPIDS/WPIX New and Revised Manual Codes for 2002
NEWS 26	Dec 10	DGENE BLAST Homology Search
NEWS 27	Dec 17	WELDASEARCH now available on STN
NEWS 28	Dec 17	STANDARDS now available on STN
NEWS 29	Dec 17	New fields for DPCI
NEWS 30	Dec 19	CAS Roles modified
NEWS 31	Dec 19	1907-1946 data and page images added to CA and CAPLUS
NEWS EXPRESS	August 15	CURRENT WINDOWS VERSION IS V6.0c, CURRENT MACINTOSH VERSION IS V6.0 (ENG) AND V6.0J (JP), AND CURRENT DISCOVER FILE IS DATED 07 AUGUST 2001
NEWS HOURS		STN Operating Hours Plus Help Desk Availability
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FULL ESTIMATED COST	0.15	0.15

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DICTIONARY FILE UPDATES: 14 JAN 2002 HIGHEST RN 383122-99-4

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Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

L1 STR

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Structure attributes must be viewed using STN Express query preparation.

=> s ll sss sam

SAMPLE SEARCH INITIATED 10:28:58 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 4271 TO ITERATE

23.4% PROCESSED 1000 ITERATIONS 1 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 81504 TO 89336  
PROJECTED ANSWERS: 1 TO 208

L2 1 SEA SSS SAM L1

=> s ll full

FULL SEARCH INITIATED 10:29:05 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 87001 TO ITERATE

100.0% PROCESSED 87001 ITERATIONS 65 ANSWERS  
SEARCH TIME: 00.00.03

L3 65 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	140.54	140.69

FILE 'CAPLUS' ENTERED AT 10:29:14 ON 15 JAN 2002  
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=> s 13 full

L4 6 L3

=> d 14 1-6 ibib abs hitstr

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:631913 CAPLUS

DOCUMENT NUMBER: 135:195556

TITLE: Preparation of azolylphenyl oxamides as inosine monophosphate dehydrogenase (IMPDH) inhibitors

INVENTOR(S): Broadhurst, Michael John; Hill, Christopher Huw; Hurst, David Nigel; Jones, Philip Stephen; Kay, Paul Brittain; Kilford, Ian Reginald; Mckinnell, Robert Murray

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: Eur. Pat. Appl., 256 pp.

CODEN: EPXXDW

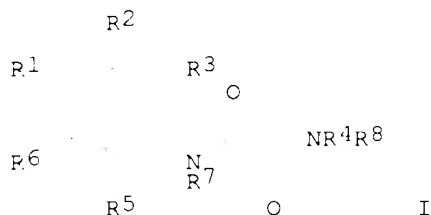
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1127883	A2	20010829	EP 2001-103521	20010216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2001000900	A	20010827	NO 2001-900	20010222
CN 1310179	A	20010829	CN 2001-104906	20010223
JP 2001261663	A2	20010926	JP 2001-51064	20010226
PRIORITY APPLN. INFO.:			GB 2000-4392	A 20000224
			GB 2000-15877	A 20000628
			GB 2000-20322	A 20000817



AB Title compds. (I; R1 = heterocyclyl; R2 = H, alkyl, alkoxy, halo, OH, cyano; R3 = H, alkyl, alkoxy, halo, cyano; R4 = H, alkyl, cycloalkyl, aryl, heterocyclyl; R5 = H, alkyl, alkoxy, halo, cyano; R6 = H, alkyl, alkoxy, halo, cyano; R7, R8 = H, alkyl; R4R8N = heterocyclyl), were prepd.

Thus, 1,1-dimethyl-3-(4-nitrophenoxy)propylamine (prepn. given) was coupled with N-[3-methoxy-4-(5-oxazolyl)phenyl]oxamic acid in the presence of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide and 1-hydroxy-7-azabenzotriazole to give N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-nitrophenoxy)propyl]oxalamide. Tested I inhibited IMPDH with IC50 = 0.010-0.277 .mu.M. I can be used for treating immune mediated

conditions or diseases, viral diseases, bacterial diseases, parasitic diseases, inflammation, inflammatory diseases, hyperproliferative vascular

diseases, tumors, and cancer.

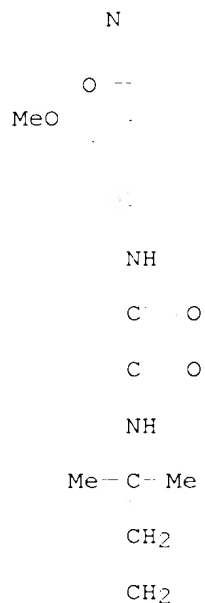
IT 357181-06-7P 357181-92-1P 357182-28-6P  
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357182-56-0P 357182-57-1P 357182-58-2P  
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357182-62-8P 357182-90-2P 357183-04-1P  
357183-07-4P 357184-40-8P 357184-66-8P  
357184-76-0P 357184-78-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

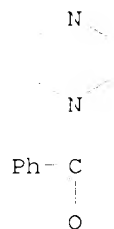
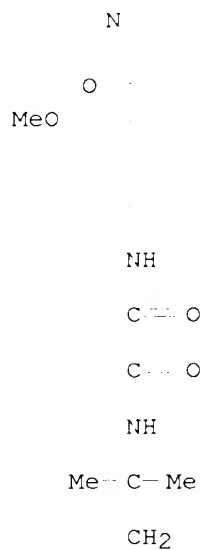
(prepn. of azolylphenyl oxamides as inosine monophosphate dehydrogenase (IMPDH) inhibitors)

RN 357181-06-7 CAPLUS

CN Ethanediame, N-[3-[4-(4-acetyl-1-piperazinyl)phenoxy]-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



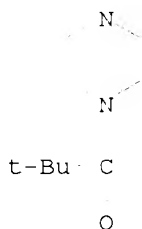
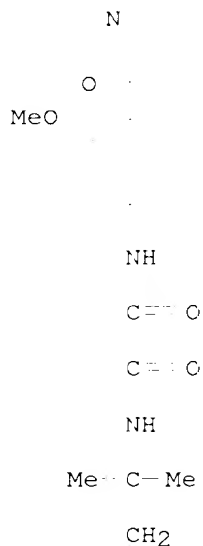
RN 357181-92-1 CAPLUS  
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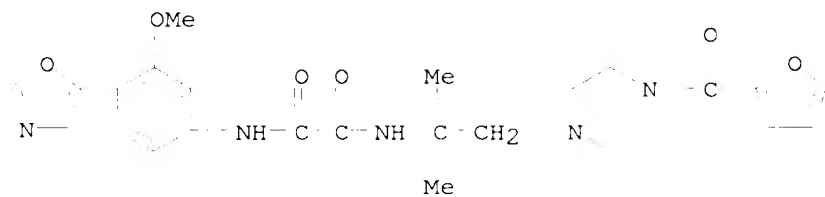
RN 357182-28-6 CAPLUS

CN Ethanediarnide, N-[2-[4-(2,2-dimethyl-1-oxopropyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

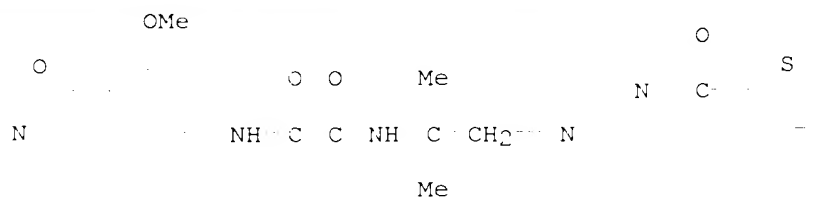




RN 357182-29-7 CAPLUS  
 CN Ethanediame, N-[2-[4-(2-furanylcarbonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

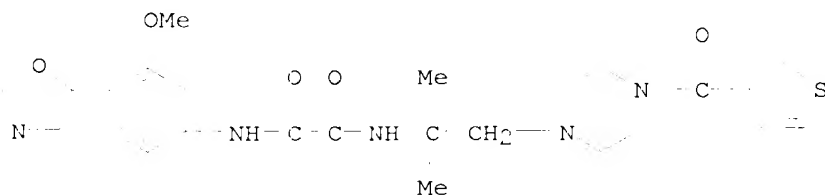


RN 357182-30-0 CAPLUS  
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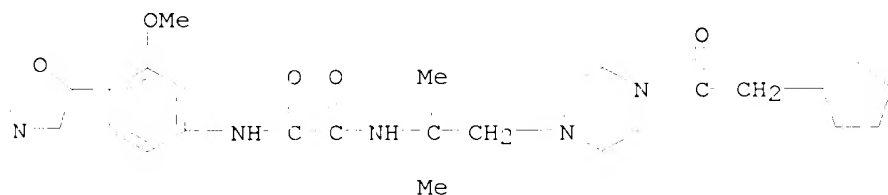
RN 357182-31-1 CAPLUS

CN Ethanediame, N-[1,1-dimethyl-2-[4-(3-thienylcarbonyl)-1-piperazinyl]ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



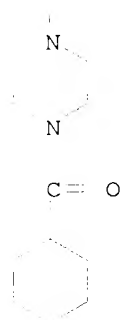
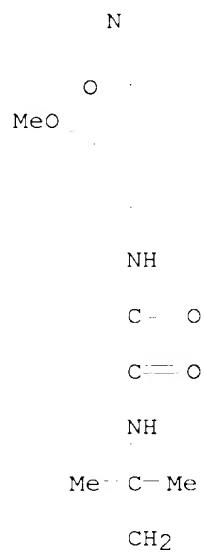
RN 357182-32-2 CAPLUS

CN Ethanediame, N-[2-[4-(cyclopentylacetyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



RN 357182-33-3 CAPLUS

CN Ethanediame, N-[2-[4-(cyclohexylcarbonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

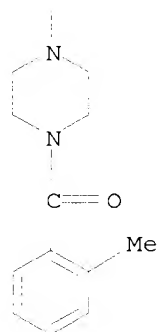
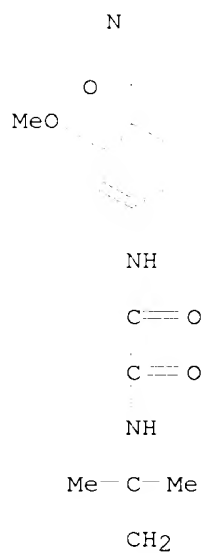


RN 357182-34-4 CAPLUS

CN Ethanediamide,

N-[1,1-dimethyl-2-[4-(2-methylbenzoyl)-1-piperazinyl]ethyl]-

N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

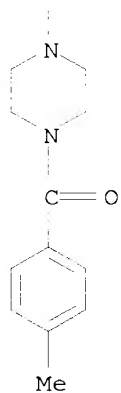
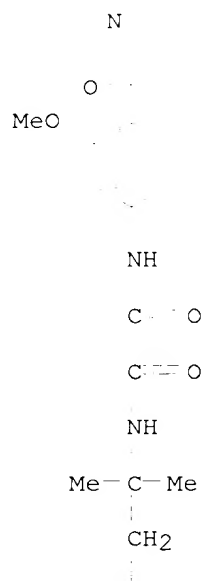


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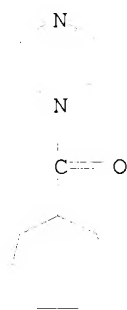
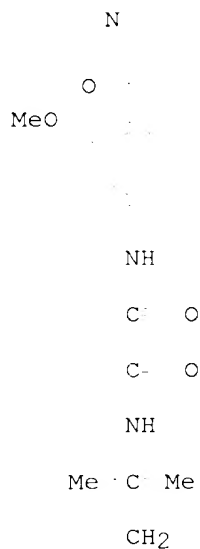
CN Ethanediamide,

N-[1,1-dimethyl-2-[4-(4-methylbenzoyl)-1-piperazinyl]ethyl]-

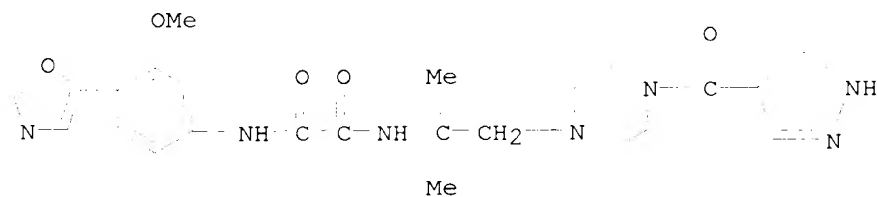
N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



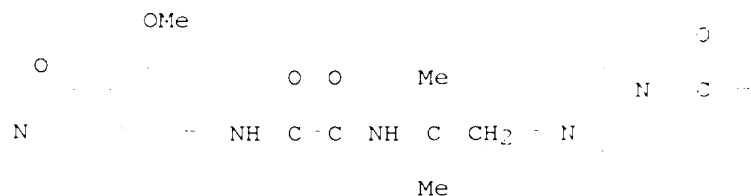
RN 357182-36-6 CAPLUS  
 CN Ethanediarnide, N-[2-[4-(cycloheptylcarbonyl)-1-piperazinyl]-1,1-  
 dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX  
 NAME)



RN 357182-37-7 CAPLUS  
 CN Ethanediarnide, N-[1,1-dimethyl-2-[4-(1H-pyrazol-4-ylcarbonyl)-1-piperazinyl]ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



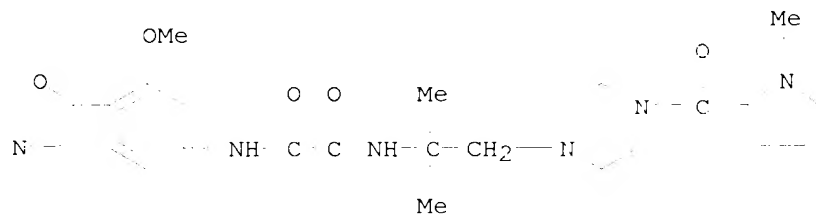
RN 357182-38-8 CAPLUS  
 CN Ethanediarnide, N-[2-[4-(cyclopentylcarbonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



RN 357182-39-9 CAPLUS

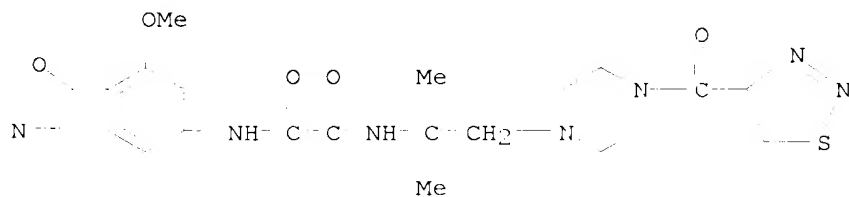
CN Ethanediamide,

N-[1,1-dimethyl-2-[4-[(1-methyl-1H-pyrrol-2-yl)carbonyl]-1-piperazinyl]ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



RN 357182-40-2 CAPLUS

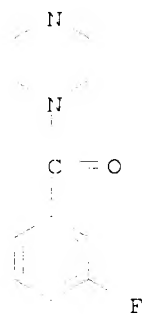
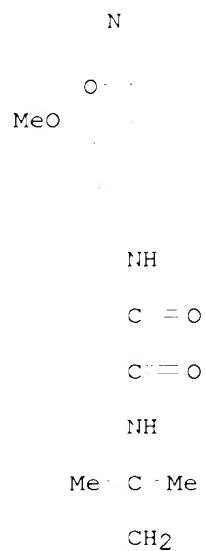
CN Ethanediamide, N-[1,1-dimethyl-2-[4-(1,2,3-thiadiazol-4-ylcarbonyl)-1-piperazinyl]ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



RN 357182-41-3 CAPLUS

CN Ethanediamide,

N-[2-[4-(3-fluorobenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



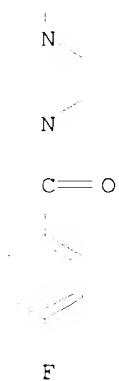
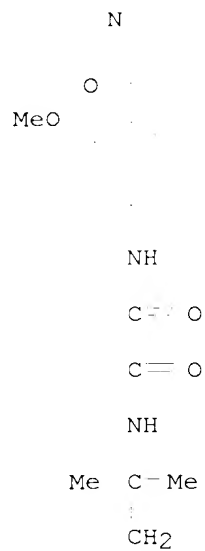
RN 357182-42-4 CAPLUS

CN Ethanediame,

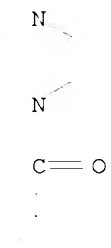
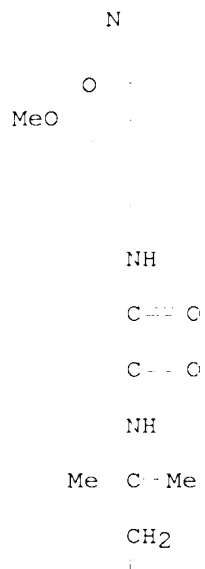
N-[2-[4-(4-fluorobenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-

N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

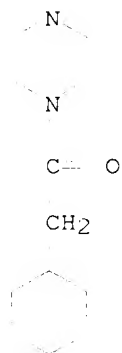
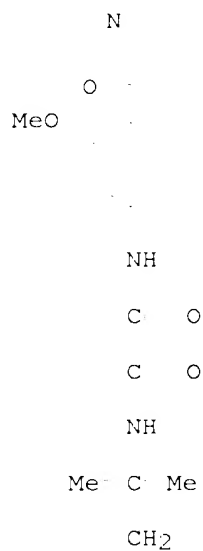




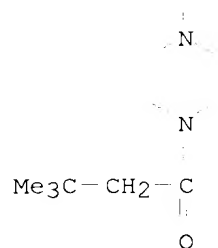
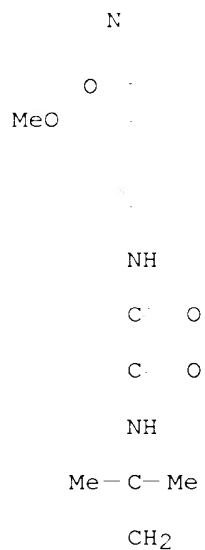
RN 357182-43-5 CAPLUS  
 CN Ethanediarnide, N-[2-[4-(cyclopropylcarbonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



RN 357182-44-6 CAPLUS  
 CN Ethanediame, N-[2-[4-(cyclohexylacetyl)-1-piperazinyl]-1,1-  
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 NAME)



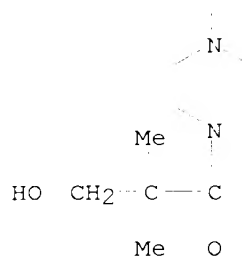
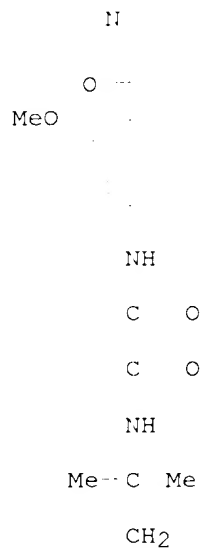
RN 357182-45-7 CAPLUS  
 CN Ethanediarnide, N-[2-[4-(3,3-dimethyl-1-oxobutyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



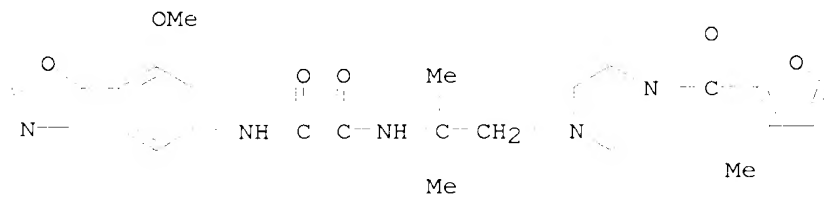
RN 357182-46-8 CAPLUS

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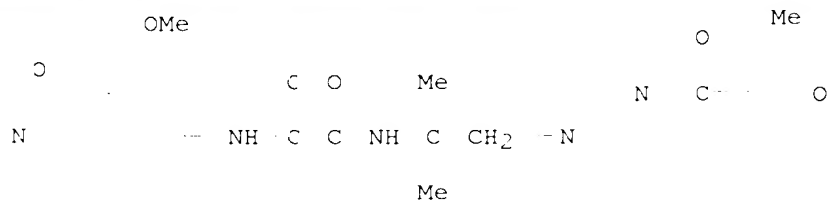
N-[2-[4-(3-hydroxy-2,2-dimethyl-1-oxopropyl)-1-piperazinyl]-  
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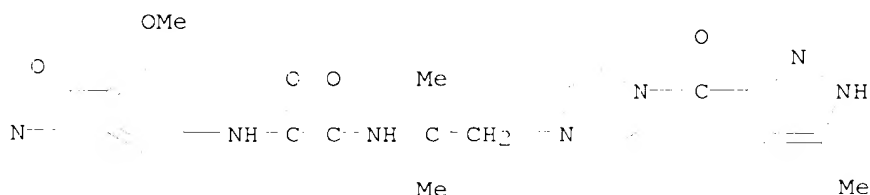
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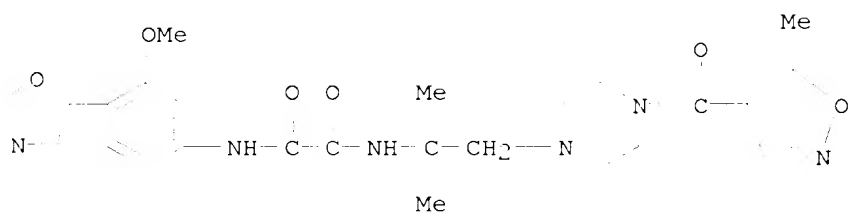
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 CN Ethanediame, N-[1,1-dimethyl-2-[4-[(2-methyl-3-furanyl)carbonyl]-1-piperazinyl]ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



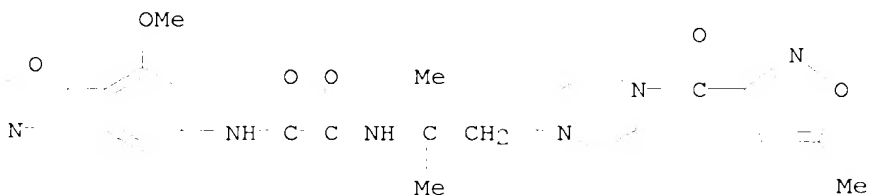
RN 357182-49-1 CAPLUS  
 CN Ethanediameide,  
 N-[1,1-dimethyl-2-[4-[(5-methyl-1H-pyrazol-3-yl)carbonyl]-1-piperazinyl]ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



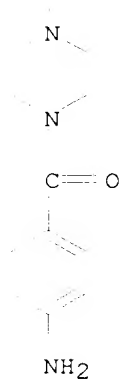
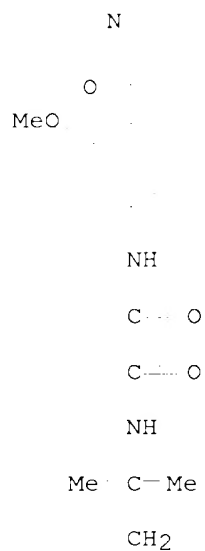
RN 357182-50-4 CAPLUS  
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RN 357182-51-5 CAPLUS  
 CN Ethanediameide, N-[1,1-dimethyl-2-[4-[(5-methyl-3-isoxazolyl)carbonyl]-1-piperazinyl]ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

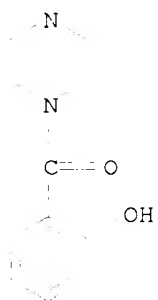
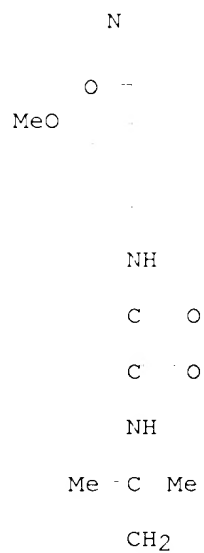


RN 357182-52-6 CAPLUS  
 CN Ethanediameide,  
 N-[2-[4-(4-aminobenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



RN 357182-53-7 CAPLUS

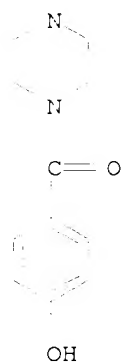
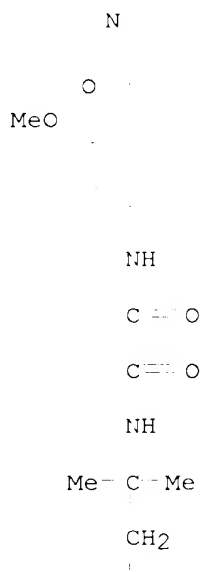
CN Ethanediarnide, N-[2-[4-(2-hydroxybenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



RN 357182-54-8 CAPLUS

CN Ethanediame, N-[2-[4-(4-hydroxybenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)





RN 357182-55-9 CAPLUS  
 CN Ethanediarnide, N-[2-[4-[(1,3-dimethyl-1H-pyrazol-5-yl)carbonyl]-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]-(9CI)  
 (CA INDEX NAME)

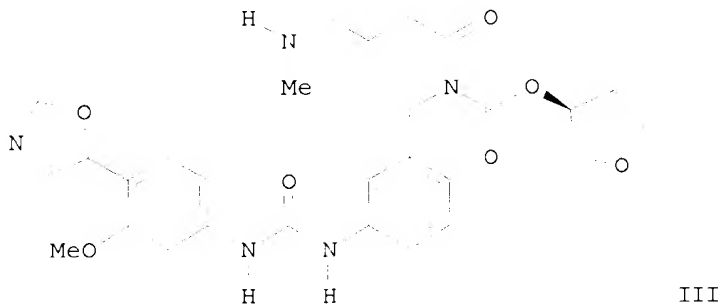
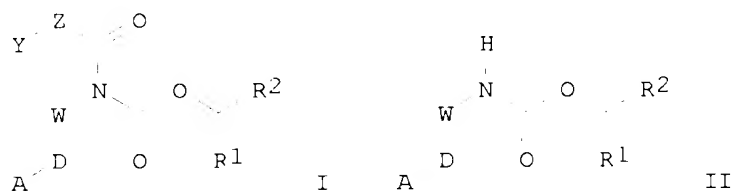
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 RN 357182-57-1 CAPLUS  
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L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 2001:12455 CAPLUS  
 DOCUMENT NUMBER: 134:86041  
 TITLE: Preparation of carbamate prodrugs for inhibition of inosine monophosphate dehydrogenase (IMPDH)  
 INVENTOR(S): Stamos, Dean P.; Bethiel, Randy S.

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
 SOURCE: PCT Int. Appl., 63 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000622	A1	20010104	WO 2000-US17400	20000623
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MP, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 1999-141102 P 19990625  
 OTHER SOURCE(S): MARPAT 134:86041  
 GI



AB Carbamate prodrugs I [W = (un)substituted monocyclic or bicyclic, (un)satd. or arom. ring system consisting of 5-6 members per ring (optionally heterocyclic); D = NR3CONR3, CONR3, NR3CO, NR3COCR4:CR4 where each R3 = H, (un)substituted alkyl, alkenyl or alkynyl; R4 = R3, (un)substituted alkyl, alkenyl or alkenyl attached via O, OCO, S, SO2, SO2, SCO, NR3, or NR3CO; A = W, alkyl, alkenyl, or alkynyl where A optionally comprises up to 2 substituents wherein: the first of said substituents is R5 or W, and the second substituent, if present, is R5; R5 = (un)substituted 1,2-methylenedioxy, 1,2-ethylenedioxy, alkyl, alkenyl or alkynyl or (CH2)nW1; W1 = halo, CN, NO2, CF3, OH, alkoxy, etc.; n = 0-2; Y = NHR6; R6 = H, (un)substituted alkyl, alkenyl, alkynyl, aryl or alkylaryl and any NR6, taken together with the nitrogen and a carbon adjacent to the

nitrogen, optionally forms a 5-7 membered ring, wherein said ring optionally contains up to 3 addnl. heteroatoms; Z = (un)substituted alkyl,

alkenyl, alkynyl, aryl-alkyl, -alkenyl or -alkynyl, wherein up to 3 carbon

atoms may be replaced with O, S, SO, SO<sub>2</sub>, or NR<sub>6</sub>, wherein up to 3 CH<sub>2</sub> groups may be replaced with CO; R<sub>1</sub> = (un)substituted alkyl; R<sub>2</sub> = H, CF<sub>3</sub>, alkyl, alkyl-W, W, or R<sub>1</sub> and R<sub>2</sub> together form a ring as defined in W], that on metab. convert to active inhibitors (formula II) of the IMPDH enzyme in vivo, were prepd. Thus, III.cntdot.HCl was prepd. from methylaminobutyric acid in seven steps. I and pharmaceutical compns. thereof are particularly well suited for activation and subsequent inhibition of the IMPDH enzyme activity. The rate of absorption of I via oral uptake of the prodrugs was dependent on the nature of substituents Z and Y, as well as the pH, with AUC values ranging from <1 to 5 mg.cntdot.hr/mL. Consequently, I may be advantageously used as therapeutic agents for IMPDH-mediated processes, e.g., cell proliferation (antitumor agents), and viral replication (antiviral agents).

IT 317345-64-5P 317345-66-7P 317345-68-9P  
317345-70-3P 317345-72-5P 317345-74-7P  
317345-76-9P

RL: BAC (Biological activity or effector, except adverse); BPR

(Biological

process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL

(Biological study); PREP (Preparation); PROC (Process); USES (Uses)

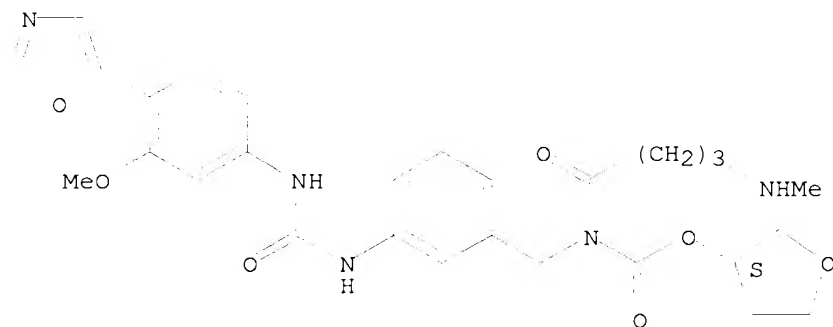
(prepn. and biol. activity of N,N'-diphenylurea prodrugs of carbamate inhibitors of IMPDH)

RN 317345-64-5 CAPLUS

CN Carbamic acid,

[[3-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino  
]phenyl]methyl][4-(methylamino)-1-oxobutyl]-, (3S)-tetrahydro-3-furanyl  
ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



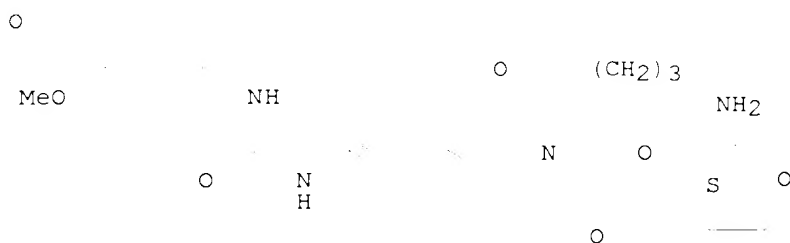
● HCl

RN 317345-66-7 CAPLUS

CN Carbamic acid, (4-amino-1-oxobutyl)[[3-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]phenyl]methyl]-, (3S)-tetrahydro-3-furanyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

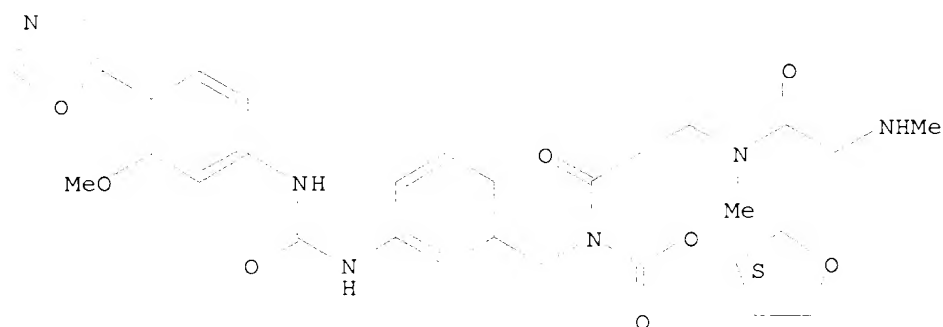
N



● HCl

RN 317345-68-9 CAPLUS  
 CN Glycinamide, N-methylglycyl-N-[[3-[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]phenyl]methyl]-N2-methyl-N-[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

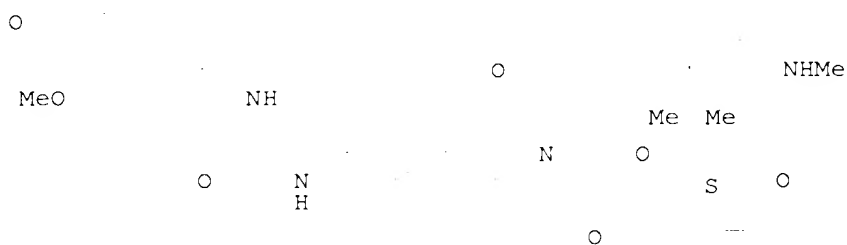


● HCl

RN 317345-70-3 CAPLUS  
 CN Carbamic acid,  
 [3,3-dimethyl-4-(methylamino)-1-oxobutyl][[3-[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]phenyl]methyl]-,  
 (3S)-tetrahydro-3-furanyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

N



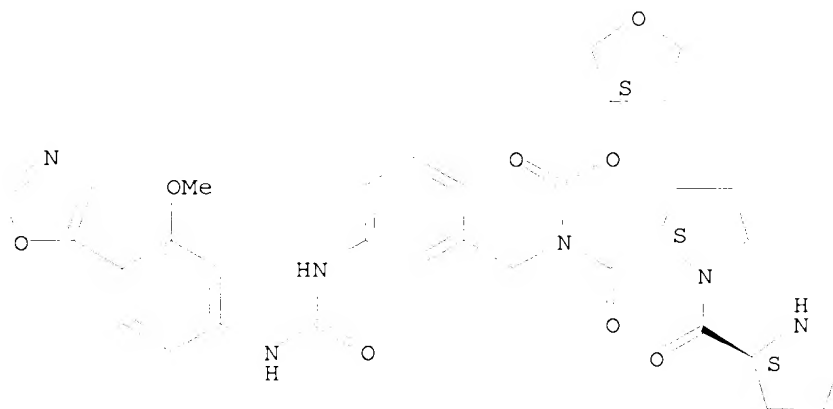
● HCl

RN 317345-72-5 CAPLUS

CN L-Prolinamide, L-prolyl-N-[[3-[[[3-methoxy-4-(5-

oxazolyl)phenyl]amino]carbonyl]amino]phenyl)methyl]-N-[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



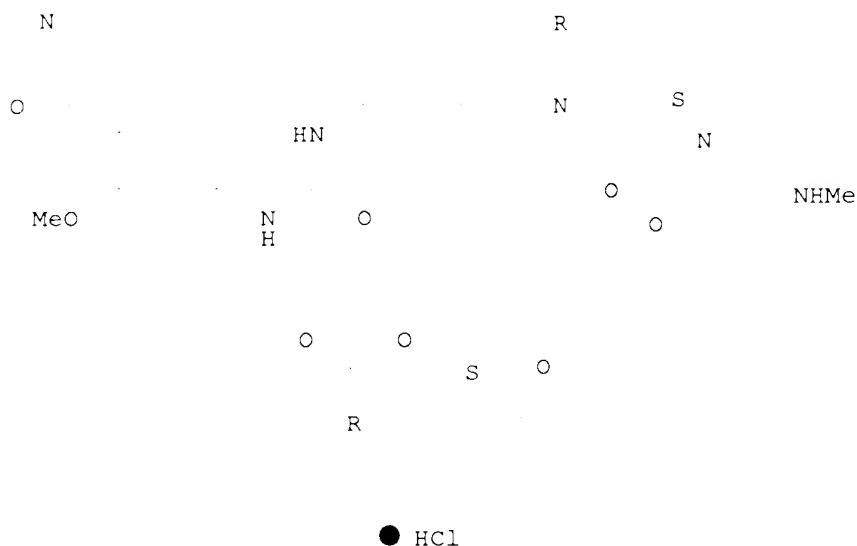
● HCl

RN 317345-74-7 CAPLUS

CN L-Prolinamide, N-methylglycyl-N-[[3-[[[3-methoxy-4-(5-

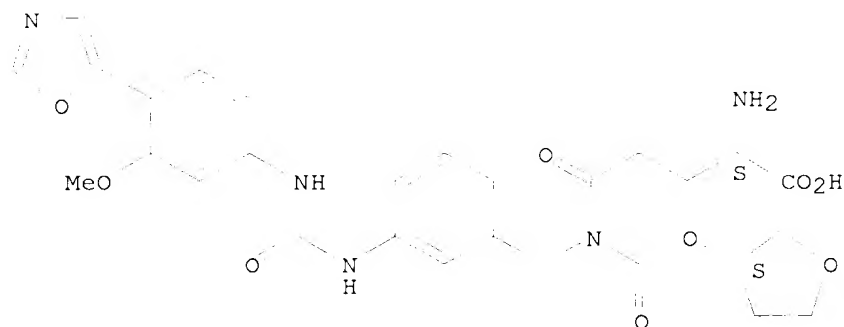
oxazolyl)phenyl]amino]carbonyl]amino]phenyl)methyl]-N-[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



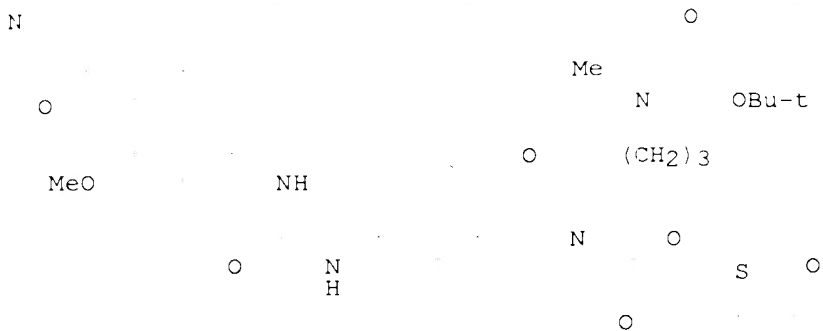
RN 317345-76-9 CAPLUS  
 CN L-Glutamine,  
 N-[[3-[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino  
 ]phenyl]methyl]-N-[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]-,  
 monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **317345-62-3P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and biol. activity of N,N'-diphenylurea prodrugs of carbamate  
 inhibitors of IMPDH)  
 RN 317345-62-3 CAPLUS  
 CN Carbamic acid, [4-[[[(1,1-dimethylethoxy)carbonyl]methylamino]-1-  
 oxobutyl]]-[3-[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]pheny  
 l]methyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5  
 REFERENCE(S): (1) Beylin, V; JOURNAL OF HETEROCYCLIC CHEMISTRY 1988, V25(1), P97 CAPLUS  
 (2) Kahns, A; 1991, 6, P483 CAPLUS  
 (3) Kahns, A; INT J PHARM 1991, V71(1-2), P31 CAPLUS  
 (4) Sharma, S; JOURNAL OF MEDICINAL CHEMISTRY 1989, V32(2), P357 CAPLUS  
 (5) Vertex Pharmaceuticals Incorporated; WO 9740028 A 1997 CAPLUS

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:351518 CAPLUS

DOCUMENT NUMBER: 133:4650

TITLE: Preparation of heteroaryl-substituted aromatic compounds as antiherpes compounds

INVENTOR(S): Simoneau, Bruno; Crute, James J.; Faucher, Anne-Marie;

Grygon, Christine A.; Hargrave, Karl D.; Thavonekham, Bounkham

PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.

SOURCE: PCT Int. Appl., 157 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000029399	A1	20000525	WO 1999-CA1066	19991109

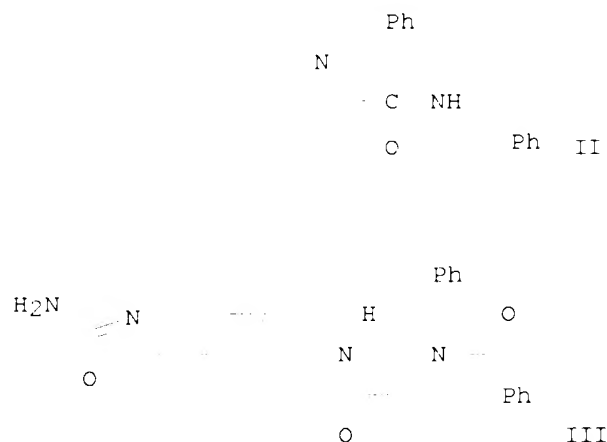
W: CA, JP, MX, US

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: US 1998-108272 P 19981112

OTHER SOURCE(S): MARPAT 133:4650

GI



AB The title compds. X-Aryl-Y-Z [I; X = 5-6 membered arom. heterocycle; Aryl = (un)substituted Ph, pyridyl; Y is absent or a bridging group, for example NHC(O)CH<sub>2</sub>; Z is a terminal group, for example NHCO<sub>2</sub>t-Bu or II], which inhibit the herpes helicase-primase enzyme, rendering the compds. useful as antiviral agents, were prepd. E.g., a multi-step synthesis of benzamide III was presented. Biol. data (IC<sub>50</sub> and/or EC<sub>50</sub> against HSV-1 and HCMV) for compds. I were given.

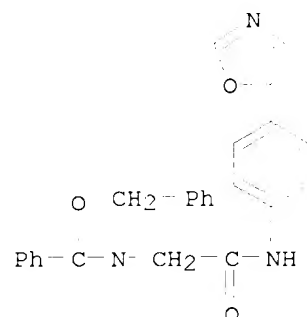
IT **270566-02-4P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of heteroaryl-substituted arom. compds. as antiherpes compds.)

RN 270566-02-4 CAPLUS

CN Benzamide,

N-[2-[[4-(5-oxazolyl)phenyl]amino]-2-oxoethyl]-N-(phenylmethyl)-  
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 5

REFERENCE(S):

- (1) Boehringer Ingelheim Ca Ltd; WO 9724343 A 1997 CAPLUS
- (2) Ciba Geigy Ag; EP 0045081 A 1982 CAPLUS
- (3) Sanofi Sa; FR 2754258 A 1998 CAPLUS
- (4) Spector, F; JOURNAL OF VIROLOGY 1998, V72(9), P6979 CAPLUS
- (5) Tularik Inc; WO 9942455 A 1999 CAPLUS

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:314682 CAPLUS

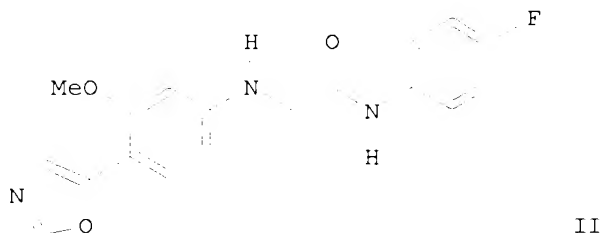
DOCUMENT NUMBER: 132:334449

TITLE: Preparation of N-[4-(5-oxazolyl)phenyl] amides as novel inhibitors of IMPDH enzyme



INVENTOR(S): Gu, Henry H.; Dhar, T. G. Murali; Iwanowicz, Edwin  
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA  
 SOURCE: PCT Int. Appl., 99 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000026197	A1	20000511	WO 1999-US24889	19991022
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1127054	A1	20010829	EP 1999-960145	19991022
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRIORITY APPLN. INFO.:			US 1998-106180	P 19981029
			WO 1999-US24889	W 19991022
OTHER SOURCE(S):			MARPAT 132:334449	
GI				

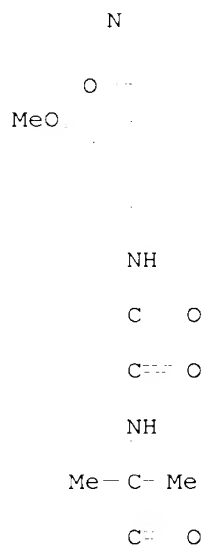


AB The title compds. ZJKLX [I; Z = (un)substituted monocyclic or bicyclic ring system contg. up to 4 heteroatoms selected from N, O, and S; J = NR7,

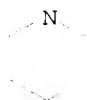
CO; K = NR7, CO, CHR9; L = a single bond, CO, CR10R11, etc.; X = alkyl, alkenyl, cycloalkylalkyl, etc.; R7 = H, alkyl, alkenyl, etc.; R9 = H, alkyl, alkenyl, etc.; R10, R11 = H, F, Cl, etc.], useful in treating or preventing IMPDH assocd. disorders, such as transplant rejection and autoimmune disease, were prepd. E.g., a multi-step synthesis of gycinamide II was given. Compds. I are effective at 0.1-500 mg/kg/day.

IT **267405-70-9P 267405-71-0P 267405-72-1P**  
**267405-73-2P 267405-74-3P 267405-75-4P**  
**267405-79-8P 267405-86-7P 267405-87-8P**  
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of N-[4-(5-oxazolyl)phenyl] amides as novel inhibitors of IMPDH enzyme)  
 RN 267405-70-9 CAPLUS  
 CN Ethanediamide,  
 N-[1,1-dimethyl-2-oxo-2-(1-piperidinyl)ethyl]-N'-[3-methoxy-

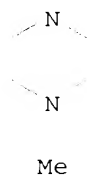
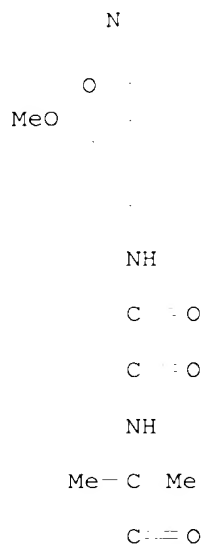
PAGE 1-A



PAGE 2-A



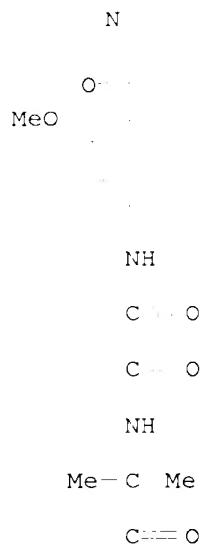
RN 267405-71-0 CAPLUS  
 CN Ethanediamide, N-[1,1-dimethyl-2-(4-methyl-1-piperazinyl)-2-oxoethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



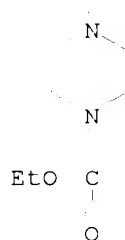
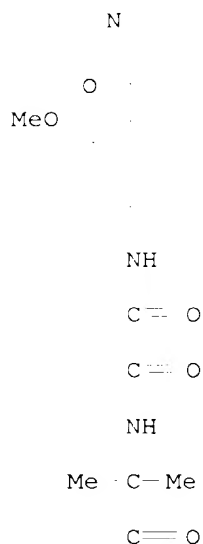
RN 267405-72-1 CAPLUS

CN Ethanediamide,

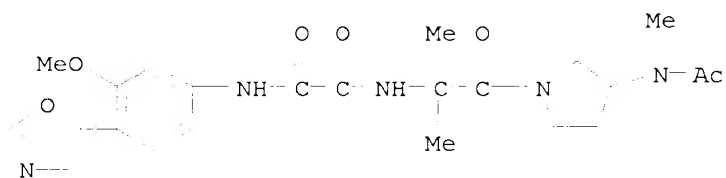
N-[1,1-dimethyl-2-(4-morpholinyl)-2-oxoethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



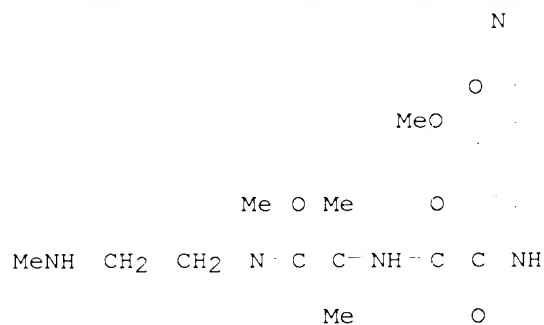
RN 267405-73-2 CAPLUS  
 CN 1-Piperazinecarboxylic acid, 4-[N-[3-methoxy-4-(5-oxazolyl)phenyl]-2-oxoglycyl-2-methylalanyl]-, ethyl ester (9CI) (CA INDEX NAME)



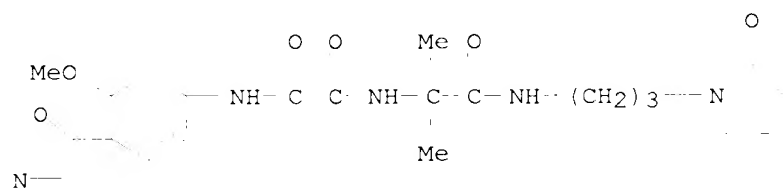
RN 267405-74-3 CAPLUS  
 CN Ethanediame,  
 N-[2-[3-(acetylmethylamino)-1-pyrrolidiny]-1,1-dimethyl-2-  
 oxoethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



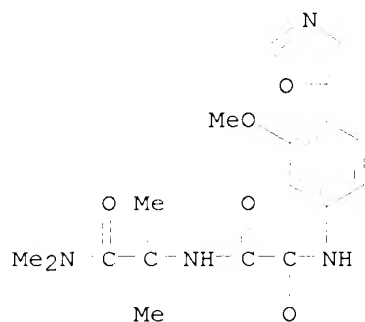
RN 267405-75-4 CAPLUS  
 CN Alaninamide,  
 N-[3-methoxy-4-(5-oxazolyl)phenyl]-2-oxoglycyl-N,2-dimethyl-N-  
 [2-(methylamino)ethyl]- (9CI) (CA INDEX NAME)



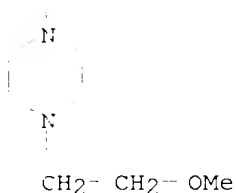
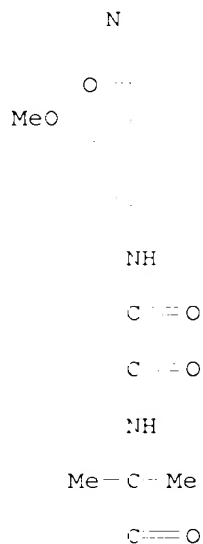
RN 267405-79-8 CAPLUS  
 CN Alaninamide,  
 N-[3-methoxy-4-(5-oxazolyl)phenyl]-2-oxoglycyl-2-methyl-N-[3-(2-oxo-1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)



RN 267405-86-7 CAPLUS  
 CN Alaninamide, N-[3-methoxy-4-(5-oxazolyl)phenyl]-2-oxoglycyl-N,N,2-trimethyl- (9CI) (CA INDEX NAME)



RN 267405-87-8 CAPLUS  
 CN Ethanediamide, N-[2-[4-(2-methoxyethyl)-1-piperazinyl]-1,1-dimethyl-2-oxoethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

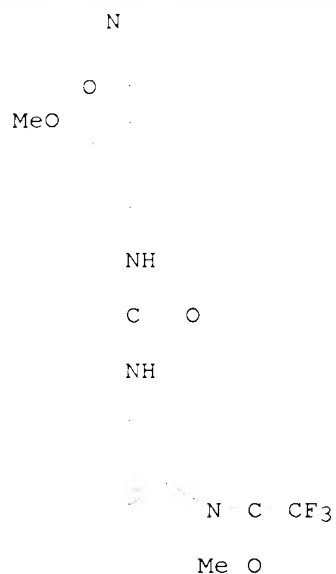


REFERENCE COUNT: 3  
 REFERENCE(S): (1) Diana; US 4861791 A 1989 CAPLUS  
 (2) Djuric; US 5073562 A 1991 CAPLUS  
 (3) Goldstein; US 5334604 A 1994 CAPLUS  
  
 L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 2000:268526 CAPLUS  
 DOCUMENT NUMBER: 132:288797  
 TITLE: Inosine 5'-monophosphate dehydrogenase (IMPDH)  
 inhibitor preparation for therapeutic use  
 INVENTOR(S): Armistead, David M.; Badia, Michael C.; Bemis, Guy  
 W.; Bethiel, Randy S.; Frank, Catharine A.; Novak, Perry  
 M.; Ronkin, Steven M.; Saunders, Jeffrey O.  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Incorporated, USA  
 SOURCE: U.S., 22 pp., Cont.-in-part of U.S. 5,807,876.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 6054472	A	20000425	US 1997-832165	19970402
US 5907876	A	19980915	US 1996-636361	19960423
WO 9740028	A1	19971030	WO 1997-US6623	19970421
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SE, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9726785	A1	19971112	AU 1997-26785	19970421
AU 723730	B2	20000907		
EP 902782	A1	19990324	EP 1997-918759	19970421
E: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1219929	A	19990616	CN 1997-194856	19970421
BR 9708735	A	19990803	BR 1997-8735	19970421
JP 2001509132	T2	20010710	JP 1997-538234	19970421
NO 9804917	A	19981223	NO 1998-4917	19981022
KR 2000010580	A	20000215	KR 1998-708454	19981022
PRIORITY APPLN. INFO.:			US 1996-636361	A2 19960423
			US 1997-801780	A2 19970214
			US 1997-832165	A 19970402
			WO 1997-US6623	W 19970421
OTHER SOURCE(S): MARPAT 132:288797				
AB	The invention relates to a novel class of compds. which are IMPDH inhibitors. This invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. of the invention are particularly well suited for inhibiting IMPDH activity and consequently may be used as therapeutic agents for IMPDH-mediated processes. The invention also relates to methods for inhibiting the activity of IMPDH using the compds. of the invention and related compds.			
IT	<b>198821-00-0P</b>			
	RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)			
	(inosine monophosphate dehydrogenase inhibitor prepn. for therapeutic use)			
RN	198821-00-0 CAPLUS			
CN	Acetamide, 2,2,2-trifluoro-N-[3-[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]phenyl]-N-methyl- (9CI) (CA INDEX NAME)			





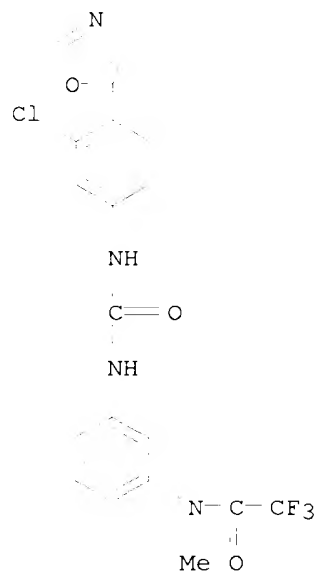
IT 198820-96-1 198821-11-3

RL: BAC (Biological activity or effector, except adverse); THU  
(Therapeutic use); BIOL (Biological study); USES (Uses)  
(inosine monophosphate dehydrogenase inhibitor prepn. for therapeutic  
use)

RN 198820-96-1 CAPLUS

CN Acetamide,

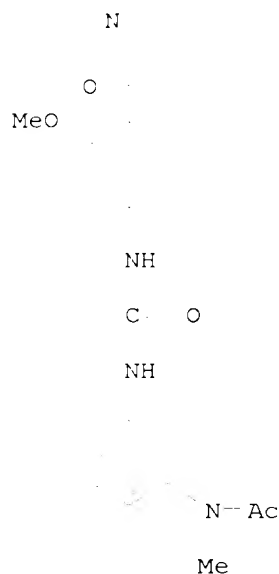
N-[3-[[[3-chloro-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]phe  
nyl]-2,2,2-trifluoro-N-methyl- (9CI) (CA INDEX NAME)



RN 198821-11-3 CAPLUS

CN Acetamide,

N-[3-[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]ph  
enyl]-N-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13

REFERENCE(S): (1) Anon; US 4048333 1977 CAPLUS  
 (2) Anon; WO 9401105 1994 CAPLUS  
 (3) Anon; WO 9412184 1994 CAPLUS  
 (4) Anon; US 5380879 1995 CAPLUS  
 (5) Anon; US 5444072 1995 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:717901 CAPLUS

DOCUMENT NUMBER: 128:3680

TITLE: Preparation of arylreas and related compounds as inhibitors of inosine 5'-monophosphate dehydrogenase.

INVENTOR(S): Armistead, David M.; Badia, Michael C.; Bemis, Guy W.;

Bethiel, Randy S.; Frank, Catharine A.; Novak, Perry M.; Ronkin, Steven M.; Saunders, Jeffrey O.

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 93 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9740028	A1	19971030	WO 1997-US6623	19970421
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SE, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5807876	A	19980915	US 1996-636361	19960423
US 6054472	A	20000425	US 1997-832165	19970402
AU 9726785	A1	19971112	AU 1997-26785	19970421
AU 723730	B2	20000907		
EP 902782	A1	19990324	EP 1997-918759	19970421
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO  
 BR 9708735 A 19990803 BR 1997-8735 19970421  
 JP 2001509132 T2 20010710 JP 1997-538234 19970421  
 NO 9304917 A 19981223 NO 1998-4917 19981022  
 PRIORITY APPLN. INFO.: US 1996-636361 A 19960423  
 US 1997-801780 A 19970214  
 US 1997-832165 A 19970402  
 WO 1997-US6623 W 19970421

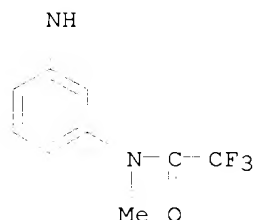
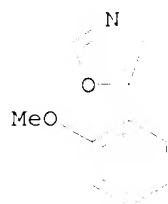
OTHER SOURCE(S): MARPAT 128:3680

AB ANHDNHB [A = (substituted) alkyl, alkenyl, alkynyl; B = (unsatd.)  
 (substituted) mono- or bicyclic ring contg. .ltoreq.4 heteroatoms; D =  
 CO, CS, SO2], were prepd. Thus, 4-(5-oxazolyl)aniline and PhCH2NCO were  
 stirred overnight in CH2Cl2 to give N-benzyl-N'-[4-(5-oxazolyl)phenyl]urea. Several title compds. inhibited IMPDH with Ki =  
 0.01-50 nM.

IT **198821-00-0P**  
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic  
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)  
 (prepn. of arylreas and related compds. as inhibitors of IMP  
 dehydrogenase)

RN 198821-00-0 CAPLUS

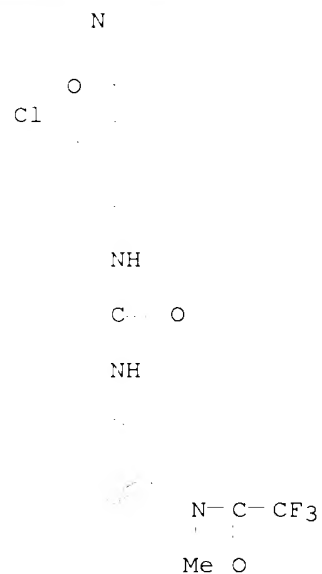
CN Acetamide, 2,2,2-trifluoro-N-[3-[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]phenyl]-N-methyl- (9CI) (CA INDEX  
 NAME)



IT **198820-96-1 198821-11-3**  
 RL: BAC (Biological activity or effector, except adverse); THU  
 (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (prepn. of arylreas and related compds. as inhibitors of IMP  
 dehydrogenase)

RN 198820-96-1 CAPLUS

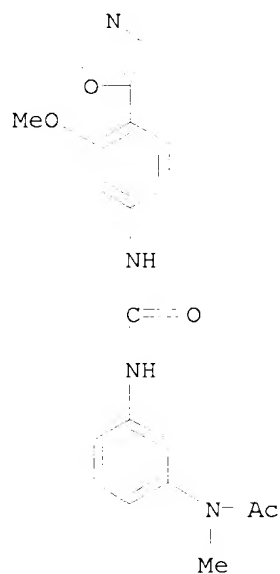
CN Acetamide,  
 N-[3-[[[3-chloro-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]phe  
 nyl]-2,2,2-trifluoro-N-methyl- (9CI) (CA INDEX NAME)



RN 198821-11-3 CAPLUS

CN Acetamide,

N-[3-[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]phenyl]-N-methyl- (9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-5.58

-5.58

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